

=> fil uspatfull

FILE 'USPATFULL' ENTERED AT 13:58:27 ON 05 JUL 2005

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 5 Jul 2005 (20050705/PD)

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HIGHEST GRANTED PATENT NUMBER: US6915531

HIGHEST APPLICATION PUBLICATION NUMBER: US2005144692

CA INDEXING IS CURRENT THROUGH 5 Jul 2005 (20050705/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 5 Jul 2005 (20050705/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2005

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2005

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>>> USPAT2 is now available.  USPATFULL contains full text of the  <<<
>>> original, i.e., the earliest published granted patents or  <<<
>>> applications.  USPAT2 contains full text of the latest US  <<<
>>> publications, starting in 2001, for the inventions covered in  <<<
>>> USPATFULL.  A USPATFULL record contains not only the original  <<<
>>> published document but also a list of any subsequent  <<<
>>> publications.  The publication number, patent kind code, and  <<<
>>> publication date for all the US publications for an invention  <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL  <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.  <<<
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>>> USPATFULL and USPAT2 can be accessed and searched together  <<<
>>> through the new cluster USPATALL.  Type FILE USPATALL to  <<<
>>> enter this cluster.  <<<
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>>> classifications, or claims, that may potentially change from  <<<
>>> the earliest to the latest publication.  <<<
```

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 18

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L1          2 SEA FILE=REGISTRY ABB=ON  PLU=ON  AZITHROMYCIN/CN OR "AZITHROMY
CIN DIHYDRATE"/CN
L2          305 SEA FILE=USPATFULL ABB=ON  PLU=ON  L1
L3          614234 SEA FILE=USPATFULL ABB=ON  PLU=ON  CRYST?
L4          118 SEA FILE=USPATFULL ABB=ON  PLU=ON  L2 AND L3
L5          137530 SEA FILE=USPATFULL ABB=ON  PLU=ON  NMR OR NUCLEAR MAGNETI?
L6          27 SEA FILE=USPATFULL ABB=ON  PLU=ON  L4 AND L5
L7          132624 SEA FILE=USPATFULL ABB=ON  PLU=ON  CRYST?/AB, TI, CLM, CT
L8          10 SEA FILE=USPATFULL ABB=ON  PLU=ON  L7 AND L6
```

=> d bib ab ct 1-8

```
L8  ANSWER 1 OF 10  USPATFULL on STN
AN  2005:105521  USPATFULL
TI  Crystal forms of azithromycin
IN  Li, Zheng J., Quaker Hill, CT, UNITED STATES
    Trask, Andrew V., Stonington, CT, UNITED STATES
PA  Pfizer Inc (U.S. corporation)
PI  US 2005090459      A1  20050428
AI  US 2003-650253      A1  20030827 (10)
RLI Continuation of Ser. No. US 2002-152106, filed on 21 May 2002, PENDING
```

PRAI US 2001-292565P 20010522 (60)  
 US 2001-297741P 20010612 (60)  
 US 2001-343041P 20011221 (60)  
 DT Utility  
 FS APPLICATION  
 LREP PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON,  
 CT, 06340, US  
 CLMN Number of Claims: 9  
 ECL Exemplary Claim: 1-57  
 DRWN 33 Drawing Page(s)  
 LN.CNT 1522

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to novel **crystal** forms of azithromycin,  
 an antibiotic useful in the treatment of infections.

CT Antibacterial agents  
 CT **Crystal morphology**  
 CT Polymorphism (crystal)  
 CT Protozoacides  
 CT Drug delivery systems  
 CT Drug delivery systems

L8 ANSWER 2 OF 10 USPATFULL on STN

AN 2004:178979 USPATFULL

TI **Crystal** forms of azithromycin

IN Li, Zheng J., Quaker Hill, CT, UNITED STATES  
 Trask, Andrew V., Stonington, CT, UNITED STATES

PA Pfizer Inc (U.S. corporation)

PI US 2004138149 A1 20040715

AI US 2003-650254 A1 20030827 (10)

RLI Continuation of Ser. No. US 2002-152106, filed on 21 May 2002, PENDING

PRAI US 2001-292565P 20010522 (60)  
 US 2001-297741P 20010612 (60)  
 US 2001-343041P 20011221 (60)

DT Utility

FS APPLICATION

LREP PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON,  
 CT, 06340

CLMN Number of Claims: 123

ECL Exemplary Claim: 1

DRWN 33 Drawing Page(s)

LN.CNT 1844

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to novel **crystal** forms of azithromycin,  
 an antibiotic useful in the treatment of infections.

CT Antibacterial agents  
 CT **Crystal morphology**  
 CT Polymorphism (crystal)  
 CT Protozoacides  
 CT Drug delivery systems  
 CT Drug delivery systems

L8 ANSWER 3 OF 10 USPATFULL on STN

AN 2004:108125 USPATFULL

TI **Crystal** forms of azithromycin

IN Li, Zheng J., Quaker Hill, CT, UNITED STATES  
 Trask, Andrew V., Stonington, CT, UNITED STATES

PA Pfizer Inc (U.S. corporation)

PI US 2004082527 A1 20040429

AI US 2003-652655 A1 20030828 (10)

RLI Continuation of Ser. No. US 2002-152106, filed on 21 May 2002, PENDING  
 PRAI US 2001-292565P 20010522 (60)  
 US 2001-297741P 20010612 (60)  
 US 2001-343041P 20011221 (60)  
 DT Utility  
 FS APPLICATION  
 LREP PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON,  
 CT, 06340  
 CLMN Number of Claims: 123  
 ECL Exemplary Claim: 1  
 DRWN 33 Drawing Page(s)  
 LN.CNT 1854

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to novel **crystal** forms of azithromycin,  
 an antibiotic useful in the treatment of infections.  
 CT Antibacterial agents  
 CT **Crystal morphology**  
 CT Polymorphism (crystal)  
 CT Protozoacides  
 CT Drug delivery systems  
 CT Drug delivery systems

L8 ANSWER 4 OF 10 USPATFULL on STN  
 AN 2004:57938 USPATFULL  
 TI **Crystal** forms of azithromycin  
 IN Li, Zheng J., Quaker Hill, CT, UNITED STATES  
 Trask, Andrew V., Stonington, CT, UNITED STATES  
 PA Pfizer Inc (U.S. corporation)  
 PI US 2004043945 A1 20040304  
 AI US 2003-652962 A1 20030828 (10)  
 RLI Continuation of Ser. No. US 2002-152106, filed on 21 May 2002, PENDING  
 PRAI US 2001-292565P 20010522 (60)  
 US 2001-297741P 20010612 (60)  
 US 2001-343041P 20011221 (60)  
 DT Utility  
 FS APPLICATION  
 LREP PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON,  
 CT, 06340  
 CLMN Number of Claims: 123  
 ECL Exemplary Claim: 1  
 DRWN 33 Drawing Page(s)  
 LN.CNT 1848

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to novel **crystal** forms of azithromycin,  
 an antibiotic useful in the treatment of infections.  
 CT Antibacterial agents  
 CT **Crystal morphology**  
 CT Polymorphism (crystal)  
 CT Protozoacides  
 CT Drug delivery systems  
 CT Drug delivery systems

L8 ANSWER 5 OF 10 USPATFULL on STN  
 AN 2004:57937 USPATFULL  
 TI **Crystal** forms of azithromycin  
 IN Li, Zheng J., Quaker Hill, CT, UNITED STATES  
 Trask, Andrew V., Stonington, CT, UNITED STATES  
 PA Pfizer Inc (U.S. corporation)  
 PI US 2004043944 A1 20040304

AI US 2003-650252 A1 20030827 (10)  
 RLI Continuation of Ser. No. US 2002-152106, filed on 21 May 2002, PENDING  
 PRAI US 2001-292565P 20010522 (60)  
 US 2001-297741P 20010612 (60)  
 US 2001-343041P 20011221 (60)  
 DT Utility  
 FS APPLICATION  
 LREP PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON,  
 CT, 06340  
 CLMN Number of Claims: 123  
 ECL Exemplary Claim: 1  
 DRWN 33 Drawing Page(s)  
 LN.CNT 1850

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to novel **crystal** forms of azithromycin,  
 an antibiotic useful in the treatment of infections.

CT Antibacterial agents  
 CT **Crystal morphology**  
 CT Polymorphism (crystal)  
 CT Protozoacides  
 CT Drug delivery systems  
 CT Drug delivery systems

L8 ANSWER 6 OF 10 USPATFULL on STN

AN 2003:232529 USPATFULL

TI **Crystal** forms of azithromycin

IN Li, Zheng J., Quaker Hill, CT, UNITED STATES  
 Trask, Andrew V., Stonington, CT, UNITED STATES

PI US 2003162730 A1 20030828

AI US 2002-152106 A1 20020521 (10)

PRAI US 2001-292565P 20010522 (60)

US 2001-297741P 20010612 (60)

US 2001-343041P 20011221 (60)

DT Utility

FS APPLICATION

LREP Paul H. Ginsburg, Pfizer Inc, 20th Floor, 235 East 42nd Street, New  
 York, NY, 10017-5755

CLMN Number of Claims: 123

ECL Exemplary Claim: 1

DRWN 33 Drawing Page(s)

LN.CNT 1840

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to novel **crystal** forms of azithromycin,  
 an antibiotic useful in the treatment of infections.

CT Antibacterial agents  
 CT **Crystal morphology**  
 CT Polymorphism (crystal)  
 CT Protozoacides  
 CT Drug delivery systems  
 CT Drug delivery systems

L8 ANSWER 7 OF 10 USPATFULL on STN

AN 2003:60210 USPATFULL

TI SINGLE-STEP PROCESS FOR PREPARING 7, 16-DEOXY-2-AZA-10-0-CLADINOSIL-12-0-  
 DESOSAMINIL-4, 5-DIHYDROXY-6-ETHYL-3,5,9,11,13,15-HEXAMETHYLBICYCLE  
 (11.2.1) HEXADEC-1(2)-EN-ONA AND OBTAINING A NEW FORM OF  
 9-DEOXO-9A-METHYL-9A-AZA-9A-HOMOERYTHROMYCIN A ✓

IN de la Torre Garcia, Juan Antonio, Jiutepec Mor, MEXICO  
 Andrade, Fidencio Franco, San Pedro Xalpa, MEXICO

PA Lara Ochoa, Jose Manuel Francisco, De Coyoacan, MEXICO  
Instituto de Investigacion en Quimica Aplicada S.C., MEXICO (non-U.S. corporation)

Silanes S.A. de C.V., MEXICO (non-U.S. corporation)

PI US 6528492 B1 20030304  
WO 2002010144 20020207  
AI US 2001-673021 20010920 (9)  
WO 2000-MX30 20000725

DT Utility

FS GRANTED

EXNAM Primary Examiner: Peselev, Elli

LREP Sterne, Kessler, Goldstein & Fox P.L.L.C.

CLMN Number of Claims: 14

ECL Exemplary Claim: 1,2

DRWN 9 Drawing Figure(s); 9 Drawing Page(s)

LN.CNT 342

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Improved single-step process for preparing 7,16-deoxy-2-aza-10-O-cladinosyl-12-O-desosaminy-4,5-dihydroxy-6-ethyl-3,5,9,11,13,15-hexamethylbicycle[11.2.1]hexadeca-1(2)-en-8-ona from erythromycin A, with high yield and under soft conditions suitable for its industrial production. The transformation of erythromycin A into an intermediate compound, called 6,9-iminoether, which is obtained in a single step, is achieved by forming the mesitylenesulfonyloxime "in situ" from erythromycin, which in the presence of a base in aqueous acetone undergoes a Beckmann's transposition creating the iminoether with the help of the hydroxyl in position 6 of the macrolide ring; this intermediary is transformed into the antibiotic 9-deoxo-9a-methyl-9a-aza-9a-homoerythromycin A, which is obtained by precipitation in hexane, thereby obtaining an innovative form, with an anhydrous **crystalline** structure and physical characteristics different from the forms known to date.

CT **Crystal structure**

L8 ANSWER 8 OF 10 USPATFULL on STN

AN 2002:239160 USPATFULL

TI Azithromycin preparation in its noncryst alline and **crystalline** dihydrate forms

IN Bayod Jasanada, Miguel Santos, Asturias, SPAIN

Garcia, Isidro Llorente, Asturias, SPAIN

Mari, Felix Fernandex, Asturias, SPAIN

PA Astur-Pharma, S.A., Madrid, SPAIN (non-U.S. corporation)

PI US 6451990 B1 20020917

AI US 2000-718833 20001122 (9)

PRAI ES 1999-2620 19991126

DT Utility

FS GRANTED

EXNAM Primary Examiner: Peselev, Elli

LREP Knobbe, Martens, Olson & Bear, LLP

CLMN Number of Claims: 18

ECL Exemplary Claim: 1

DRWN 4 Drawing Figure(s); 4 Drawing Page(s)

LN.CNT 399

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes new procedures for the preparation of the macrolide azithromycin in its non-**crystalline** and **crystalline** dihydrate forms, which are characterized and clearly differentiated by means of the following methods and techniques:

1. IR Spectroscopy.
2. Differential Scan Calorimetry (DSC).
3. X-Ray Diffraction.
4. Hygroscopicity.
5. **Crystallinity** test (Light Polarized Microscopy) ##STR1##

CT Hydrolysis  
CT Alcohols, uses  
CT Ethers, uses  
CT **Crystallization**  
CT Ligroine

=>

037 Drug Literature Index

LA English

SL English

ED Entered STN: 950926  
Last Updated on STN: 950926

AB The conformations of erythromycin A 9-ketone, **azithromycin** and clarithromycin free in aqueous solution and weakly bound to bacterial ribosomes are determined using ROESY and transferred NOESY 1H NMR experiments.

CT Medical Descriptors:  
\*aqueous solution  
\*ribosome  
article  
chemical structure  
    **crystal structure**  
drug binding  
nonhuman  
nuclear overhauser effect  
proton nuclear magnetic resonance  
Drug Descriptors:  
    **\*azithromycin: AN, drug analysis**  
    **\*azithromycin: PD, pharmacology**  
    \*clarithromycin: AN, drug analysis  
    \*clarithromycin: PD, pharmacology  
    \*erythromycin derivative: AN, drug analysis  
    \*erythromycin derivative: PD, pharmacology  
antibiotic agent: AN, drug analysis  
antibiotic agent: PD, pharmacology

L3 ANSWER 3 OF 3. EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN

AN 93013321 EMBASE

DN 1993013321

TI Conformational analysis of **azithromycin** by nuclear magnetic resonance spectroscopy and molecular modelling.

AU Lazarevski G.; Vinkovic M.; Kobrehel G.; Dokic S.

CS PLIVA, Pharm., Chemical, Food/Cosmetic Ind., Research Institute, Baruna Filipovica 89,41000 Zagreb, Croatia

SO Tetrahedron, (1993) Vol. 49, No. 3, pp. 721-730.  
ISSN: 0040-4020 CODEN: TETRAB

CY United Kingdom

DT Journal; Article

FS 037 Drug Literature Index

LA English

SL English

ED Entered STN: 930207  
Last Updated on STN: 930207

AB The conformation of **azithromycin** 1 in the solution was determined by NMR spectroscopy and molecular mechanics calculations and compared with its **crystal** structure and with some erythromycin derivatives. In solution 1 exists predominantly in a 'folded-in' conformation in the C-3 to C-5 region, whereas the **crystal** state conformation is 'folded-out'.

CT Medical Descriptors:  
\*drug structure  
article  
nuclear magnetic resonance  
Drug Descriptors:  
    **\*azithromycin: AN, drug analysis**

=> fil medline biosis embase  
FILE 'MEDLINE' ENTERED AT 13:51:46 ON 05 JUL 2005

FILE 'BIOSIS' ENTERED AT 13:51:46 ON 05 JUL 2005  
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=> d que 13  
L2 73 SEA AZITHROMYCIN AND CRYST?  
L3 3 SEA NMR AND L2

=> d bib ab ct 13 1-34

L3 ANSWER 1 OF 3 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN  
AN 1993:138009 BIOSIS  
DN PREV199395070809  
TI Conformational analysis of **azithromycin** by nuclear magnetic  
resonance spectroscopy and molecular modelling.  
AU Lazarevski, Gorjana [Reprint author]; Vinkovic, Mladen [Reprint author];  
Kobrehel, Gabrijela [Reprint author]; Dokic, Slobodan [Reprint author];  
Metelko, Biserka; Vikic-Topic, Drazen  
CS PLIVA-Pharmaceutical, Chem., Food Cosmetic Industry, Research Inst.,  
Baruna Filipovica 89, 41000 Zagreb, Croatia  
✓ — SO Tetrahedron, (1993) Vol. 49, No. 3, pp. 721-730.  
CODEN: TETRAB. ISSN: 0040-4020. (Get)  
DT Article  
LA English  
ED Entered STN: 16 Mar 1993  
Last Updated on STN: 17 Mar 1993  
AB The conformation of **azithromycin** 1 in the solution was  
determined by **NMR** spectroscopy and molecular mechanics  
calculations and compared with its **crystal** structure and with  
some erythromycin derivatives. In solution 1 exists predominantly in a  
"folded-in" conformation in the C-3 to C-5 region, whereas its  
**crystal** state conformation is "folded-out".  
IT Major Concepts  
Biochemistry and Molecular Biophysics; Pharmacology  
IT Chemicals & Biochemicals  
**AZITHROMYCIN**

L3 ANSWER 2 OF 3 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.  
on STN  
AN 95269644 EMBASE  
DN 1995269644  
TI Conformational analysis of the erythromycin analogues **azithromycin**  
and clarithromycin in aqueous solution and bound to bacterial ribosomes.  
AU Awan A.; Brennan R.J.; Regan A.C.; Barber J.  
CS Department of Pharmacy, University of Manchester, Manchester M13 9PL,  
United Kingdom  
→ SO → Journal of the Chemical Society - Series Chemical Communications, (1995)  
No. 16, pp. 1653-1654. (Get)  
ISSN: 0022-4936 CODEN: JCCCAT  
CY United Kingdom  
DT Journal; Article  
FS 004 Microbiology  
030. Pharmacology